

What is claimed is:

1. A pharmaceutical dosage unit for oral administration to a human female comprising a therapeutically effective amount of  $17\beta$ -estradiol-3-lower alkanoate and a pharmaceutically acceptable carrier.
2. The dosage unit according to claim 1, wherein said  $17\beta$ -estradiol-3-lower alkanoate is selected from the group consisting of  $17\beta$ -estradiol-3-formate,  $17\beta$ -estradiol-3-acetate,  $17\beta$ -estradiol-3-propionate and mixtures thereof.
3. The dosage unit according to claim 1, wherein said  $17\beta$ -estradiol-3-lower alkanoate is  $17\beta$ -estradiol-3-acetate.
4. The dosage unit according to claim 1, wherein the percent moisture of said dosage unit is less than or equal to 8%.
5. The dosage unit according to claim 1, wherein an amount of  $17\beta$ -estradiol-3-lower alkanoate in said dosage is from about 0.1 to about 10 mg as estradiol equivalent.
6. The dosage unit according to Claim 1, further comprising one or more pharmaceutically acceptable inhibitors of ester hydrolysis.
7. The dosage unit according to Claim 1, further comprising one or more additional medicaments.
8. The dosage unit according to Claim 7, wherein at least one additional medicament has progestational activity.
9. The dosage unit according to claim 4, wherein the dosage unit is prepared by a granulation method.

10. The dosage unit according to Claim 1, wherein the dosage unit is a tablet, capsule, powder, lozenge, troche or suspension.
11. The dosage unit according to Claim 1 wherein the dosage unit is a tablet or capsule.
12. A method of treating a human female in need of  $17\beta$ -estradiol comprising the step of orally administering to said human female a dosage unit comprising a therapeutically effective amount of  $17\beta$ -estradiol-3-alkanoate and a pharmaceutically acceptable carrier.
13. The method according to Claim 12, wherein  $17\beta$ -estradiol-3-lower alkanoate is selected from the group consisting of  $17\beta$ -estradiol-3-formate,  $17\beta$ -estradiol-3-acetate,  $17\beta$ -estradiol-3-propionate and mixtures thereof.
14. The method according to Claim 12, wherein the  $17\beta$ -estradiol-3-lower alkanoate is  $17\beta$ -estradiol-3-acetate.
15. The method according to Claim 12, wherein an amount of  $17\beta$ -estradiol-3-lower alkanoate in said dosage is from about 0.1 to about 10 mg as estradiol equivalent.
16. The method according to Claim 12, wherein said dosage unit further comprises one or more additional medicaments.
17. The method according to Claim 16, wherein at least one additional medicament has progestational activity.
18. The method according to Claim 12, wherein said dosage unit is administered for hormone replacement therapy.

19. The method according to Claim 12, wherein the dosage unit is a tablet, capsule, powder, lozenge, troche or suspension.
20. The method according to Claim 19, wherein the dosage unit is a tablet or capsule.
21. A method of providing contraception comprising the step of orally administering to a human female of child bearing age a contraceptive regimen of a daily dosage unit comprising a contraceptively effective amount of 17 $\beta$ -estradiol-3-lower alkanoate and a pharmaceutically acceptable carrier.
22. The method according to claim 21, wherein the 17 $\beta$ -estradiol-3-lower alkanoate is selected from the group consisting of 17 $\beta$ -estradiol-3-formate, 17 $\beta$ -estradiol-3-acetate, 17 $\beta$ -estradiol-3-propionate and mixtures thereof.
23. The method according to claim 21, wherein the 17 $\beta$ -estradiol-3-lower alkanoate is 17 $\beta$ -estradiol-3-acetate.
24. The method according to claim 21, wherein the contraceptive regimen is the daily administration of the dosage unit for a 21 day period.
25. The method according to claim 21, wherein said dosage unit comprises a contraceptively effective amount of a combination of 17 $\beta$ -estradiol-3-lower alkanoate and at least one progestin.
26. The method according to claim 21, wherein an amount of 17 $\beta$ -estradiol-3-lower alkanoate in said dosage unit is from about 1 to about 10 mg as estradiol equivalent.
27. The method according to claim 21, wherein the dosage unit is a tablet or capsule.

28. The method according to claim 27, wherein said dosage unit is provided in a kit containing a full contraceptive regimen of dosage units.

29. A process for making a granulated pharmaceutical composition containing therapeutic quantities of  $17\beta$ -estradiol-3-lower alkanoate comprising the steps of:

- a) preparing an aqueous solvent containing an inhibitor of ester hydrolysis;
- b) preparing a suspension medium by admixing said solvent with an amount of one or more pharmaceutically acceptable suspending agents, effective to substantially maintain said  $17\beta$ -estradiol-3-lower alkanoate in suspension;
- c) adding said  $17\beta$ -estradiol-3-lower alkanoate and optionally adding one or more additional medicaments to said suspension medium to form a dispersion of said  $17\beta$ -estradiol-3-alkanoate; and
- d) mixing said dispersion of  $17\beta$ -estradiol-3-lower alkanoate with one or more pharmaceutical carriers to form the granulated composition.

30. The process according to Claim 29, wherein the inhibitor of ester hydrolysis is one or more pharmaceutically acceptable organic acids

31. The process according to claim 30, wherein the organic acid is selected from the group consisting of formic acid, acetic acid, propionic acid and mixtures thereof.

32. The process of Claim 29, wherein the  $17\beta$ -estradiol-3-lower alkanoate is  $17\beta$ -estradiol-3-acetate and the inhibitor of ester hydrolysis is acetic acid.

33. The process according to Claim 29 wherein, at least one of the additional medicaments has a steroidal structure.

34. The process according to claim 33 wherein, said additional medicament is a progestin.

35. The process according to claim 34 wherein, said progestin is selected from the group consisting of norethindrone, norethindrone acetate, medroxyprogesterone acetate and mixtures thereof.

36. The process according to Claim 32, wherein the aqueous solvent containing an inhibitor of ester hydrolysis is water containing a stoichiometric excess of acetic acid.

37. The process according to claim 36, wherein the aqueous solvent contains a water-miscible solvent.

38. The process according to claim 37, wherein the water miscible solvent is ethanol.

39. The process according to claim 38, wherein the ethanol constitutes between 0.15% to 15% of the aqueous solvent.

40. The process according to claim 29, wherein the suspending agent is a hydrophilic colloid.

41. The process according to claim 29, wherein the suspending agent is polyvinylpyrrolidone.

42. The process according to claim 41, wherein the polyvinylpyrrolidone constitutes between 5% to 20% of the medium.

43. The process according to claim 29, further comprising the step of processing said granulated composition into a dosage unit taking the form of a tablet or capsule.

44. Hormone replacement therapy using oral administration of dosage units containing  $17\beta$ -estradiol-3-acetate and one or more optional active medicament or medicaments prepared according to claim 43.

45. Improved oral bioavailability of  $17\beta$ -estradiol through administration of dosage units containing  $17\beta$ -estradiol-3-acetate and one or more optional medicaments prepared according to claim 43.

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